Amendments to the Claims:

The listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

(Currently Amended) A method of promoting remyelination of nerve cells in a
mammal comprising administering to the mammal in need thereof a compound in a
remyelinating effective amount, wherein the compound is of formula I below:

wherein

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group:

 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group:

R⁵ is -(CH₂),-Ar-R⁵ where R⁵ is selected from the group consisting of -O-Z-NR⁸R⁸ and -O-Z- R⁸ wherein R⁸ and R⁸ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, and substituted heterocyclic, or R⁸ and R⁸ are joined to form a heterocycle or a substituted

heterocycle, $R^{8'}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-;

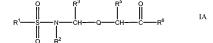
Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4; and

Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

 (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IA below:



wherein:

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl:

 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group:

 $R^{5} \text{ is } - (CH_{2})_{x} - Ar - R^{5} \text{ where } R^{5} \text{ is selected from the group consisting of } -O - Z - NR^{8} R^{8}$ and $-O - Z - R^{8^{*'}}$ wherein R^{8} and $R^{8'}$ are independently selected from the group consisting of

hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, and substituted heterocyclic, or R^8 and R^8 are joined to form a heterocycle or a substituted heterocycle, R^{8° is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and $-SO_{2^\circ}$;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

 R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂) $_p$ COOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR 9 R 10 where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R 10 is selected from the group consisting of hydrogen and -CH₂COOR 11 where R^{11} is alkyl, and -NHSO₂Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heteroaryl, substituted heteroaryl, is and

Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkvl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof with the following provisos

- (A) when \mathbb{R}^1 and \mathbb{R}^2 together with the SO₂ group pendent to \mathbb{R}^1 and the nitrogen pendent to \mathbb{R}^2 form a saccharin-2-yl group, \mathbb{R}^3 is -CH₃, \mathbb{R}^5 is $p_{-1}(CH_3)$ -NC(O)O-lbenzyl and O is -C(O)NH- then \mathbb{R}^6 is not -OC(CH₃):
- (B) when R¹ is p-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a pyrrodinyl pyrrolidinyl ring derived from D-proline; R⁵ is p-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and O is -C(O)NH- then R⁶ is not-OC(CH₂):
- (C) when R^1 is pyrimidin-2-yl, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a pyrrolidinyl ring, R^5 is p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R^6 is not -OC(CH₃)₃; and

(D) when R^1 is p-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a (2S)-piperazin-2-carbonyl ring; R^3 is p[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R^6 is not -OC(CH₃)₃.

(Cancelled)

4. (Cancelled)

 (Original) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IB below:

wherein:

Ar¹ is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar² is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R¹² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group:

 R^{13} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted beterocyclic groun:

R¹⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, evcloalkyl, substituted evcloalkyl, and substituted aryl:

R¹⁵ is selected from the group consisting of alkyl, and substituted alkyl, or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

 R^{16} is selected from the group consisting of alkyl and substituted alkyl or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR 100 -, and -CH $_2$ - wherein R 100 is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

- 6. (Original) The method according to claim 5, wherein R¹² is alkyl, substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group; and R¹⁴ is hydrogen or alkyl.
- 7. (Original) The method according to claim 5, wherein Ar¹ is selected from the group consisting of phenyl, 4-methylphenyl, 4-t-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3,5-difluorophenyl, 3-chloro-4-fluorophenyl, 4-chlorophenyl, 3,4-diinethoxyphenyl, 3,5-dichlorophenyl, 3-methoxyphenyl, 3-dimethoxyphenyl, 4-fluorophenyl, 2-methoxyphenyl, 4-(3'-dimethylamino-n-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H2NC(O)-)phenyl, 4-(H2NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-methylphenyl, 4-methylphenyl, 4-methylmidinophenyl, 4-(PhNHC(O)NH-)phenyl, 4-aminophenyl, 4-(CH3C(O)NH-)phenyl, 4-(CH3C(=NH)-)phenyl, 4-thloro-3-[H2NS(O)2-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacctyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2-5-dichloro-4-thienyl, 1-N-methylpyrazol-3-yl.

1-N-methylpyrazol-4-yl, 1-N-butylpyrazol-4-yl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

8. (Original) The method according to claim 5, wherein R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic of the formula;

wherein

X is selected from the group consisting of -S-, -SO-, -SO₂, and optionally substituted -CH₂-;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

- (Original) The method according to claim 8, wherein m is 1, X is -S- or -CH₂-, R' is alkyl or substituted alkyl.
- 10. (Currently Amended) The method according to claim [[8]] §, wherein R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-fuhoropyrrolidinyl, 4-copyrrolidinyl, 4-fuhoropyrrolidinyl, 4-fuhoropyrrolidinyl, 4-fuhoropyrrolidinyl, 4-glCOO-)pyrrolidinyl, 4-glCH₃S(O)₂O-]pyrrolidinyl, 3-phenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrolidinyl, 4-dimethylpyrrolidinyl, 4-A-Cbz-piperazinyl, 4-[CH₃S(O)₂-]piperazinyl, thiazolidin-3-yl, 5,5-dimethylthiazolidin-3-yl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

- (Original) The method according to claim 5, wherein Ar² is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.
- 12. (Original) The method according to claim 5, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR¹⁵R¹⁶ is selected from the group consisting of (CH₃)·NC(O)O-, (piperidin-1-vl)C(O)O-, (4-hydroxypiperidin-1-vl)C(O)O-, (4-formyloxypiperidin-1vl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2yl)piperazin-1]-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH3)NC(O)O-, (2-(N-methyl-N-toluene-4sulfonylamino)ethyl)(CH₃)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH₃)NC(O)O-, (2-(hydroxy)ethyl)(CH₃)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH₃)NC(O)O-, (CH₃OC(O)CH₂)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.
- 13. (Original) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IC below:

wherein

R^x is hydroxy or C₁₋₅ alkoxy; and pharmaceutically acceptable salts thereof.

14-21. (Cancelled)

22. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,

 $\label{eq:N-dimension} N-(\mbox{toluene-4-sulfonyl})-\mbox{L-prolyl-L-4-}(N,N-\mbox{dimethyl carbamyloxy}) phenylalanine ethylester.$

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine n-butyl ester,

 $N\hbox{-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)} phenylalanine cyclopentyl ester \underline{,}$

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine n-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine cyclopentyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tertbutyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine ethyl ester,

N-(α -toluenesulfonyl)-L-prolyl-L-4-(N-methylisonipecotoyloxy)phenylalanine ethyl ester,

N-(α -toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-3-(N,N-dimethylcarbamyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-tert-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine₄

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine₃

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine tert-butyl ester.

 $\label{eq:normalized} $$N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)$ thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine_$$$

N-(toluene-4-sulfonyl)sarcosyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine tertbutyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine tert-butyl ester,

N-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine₄

N-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

 $\label{eq:N-constraint} N-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine $tert$-butyl ester,$

N-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester.

N-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine.

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

 $\label{eq:N-lemma} \emph{N-} \mbox{(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-} (\emph{N,N-dimethylcarbamyloxy}) phenylalanine.$

 $\label{eq:normalized} N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)$ thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)$ phenylalanine_$$$

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(pyridine-3-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine tert-butyl ester.

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine neopentyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-tert-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-N-methylalanyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

 $\label{lem:normalizer} $$N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine $$tert$-butyl ester, $$$

N-(toluene-4-sulfonyl)-L-N-methylalanyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(pyridine-3-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-tert-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine,

 $\label{lem:lemma$

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4ylcarbonyloxy)phenylalanine tert-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4ylcarbonyloxy)phenylalanine tert-butyl ester.

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

 $\label{eq:N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy) phenylalanine \textit{tert}\text{-}\text{butyl ester}\text{_}$

 $N\hbox{-}(4\hbox{-trifluoromethoxybenzenesulfonyl})\hbox{-}L\hbox{-prolyl-L-4-}(N,N\hbox{-dimethylcarbamyloxy}) phenylalanine \textit{tert-} butyl ester,$

 $N\hbox{-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-} (N,N-dimethylcarbamyloxy) phenylalanine isopropyl ester \underline{\ }$

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one,

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl[azetidin-2-one,

 $\label{eq:N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine $tert$-butyl ester$$_{\bf x}$$

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine tert-butyl ester.

 $\label{eq:N-constraint} N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine $tert$-butyl ester,$

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine tertbutyl ester,

N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester.

N-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

 $\label{eq:local-continuous} $$N-(4-\textit{tert}$-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine $$\textit{tert}$-butyl ester$$.$

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester.

N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

 $\label{eq:N-local-problem} N\mbox{-}(1\mbox{-methylpyrazole-4-sulfonyl})\mbox{-}L\mbox{-prolyl-L-4-}(N,N\mbox{-dimethylcarbamyloxy})\mbox{phenylalanine}\ iso\mbox{-propyl}\ ester,$

 $\label{eq:number} N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl) thiaprolyl-L-4-(N,N-dimethylcarbamyloxy) phenylalanine \textit{tert-}butyl ester $_{\star}$$

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-acetylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-phenylpiperazin-1ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine tertbutyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester.

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N^Ntert-butoxycarbonyl-2-amino-2-methylpropyl) ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4^N-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

 $N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2^N-(morpholin-4N-yl)ethyl)carbamyloxy)phenylalanine \textit{tert-}butyl ester, \\$

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2^N-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-4-(4N-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester.

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2^N-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine₄

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2N-hydroxyethyl)-Nmethylcarbamyloxy)phenylalanine isopropyl ester.

N-(toulene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester,

 $\label{eq:N-toluene-4-sulfonyl} N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methoxypiperidin-1-ylcarbonyloxy) phenylalanine \underline{,}$

 $\label{eq:normalized} N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine \\ \textit{tert-butyl} \ \text{ester}_{\underline{a}}$

N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester.

N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine,

N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

 $\label{eq:local_local_local} $$N-(2,4-diffuorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine_a$

 $\label{eq:N-constraint} N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy) phenylalanine,$

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester.

 $\label{eq:N-continuous} N-(3-fluor obenzene sulfonyl)-L-(1,1-dioxothia morpholin-3-carbonyl)-L-4-(N,N-dimethyl carbamyloxy) phenylalanine a$

N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine₃

N-(4-tert-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine_a

N-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine,

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(N,Ndimethylcarbamyloxy)phenylalanine,

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

 $N\hbox{-}(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine $tert$-butyl ester$$.}$

N-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine,

N-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine ethyl ester.

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine₂

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

 $\textit{N-}(pyridine-2-sulfonyl)-L-prolyl-L-4-(\textit{N,N-}dimethylcarbamyloxy)} phenylalanine_ (\textit{N-}(N,N-dimethylcarbamyloxy)) phenyl$

 $\label{eq:N-control} N\mbox{-(pyridine-2-sulfonyl)-L-(5,5-dimethyl) thiaprolyl-L-4-(N,N-dimethylcarbamyloxy) phenylalanine isopropyl ester, $$ $ (N$,$N$-dimethylcarbamyloxy) phenylalanine isopropyl ester, $$ $ (N$,$N$-dimethylcarbamyloxy) phenylalanine isopropyl ester, $$ $ (N$,$N$-dimethylcarbamyloxy) phenylalanine isopropyl ester, $$ (N$,$N$-dimethylcarbamyloxy) phenylalanine isopropylalanine isopr$

 $\label{eq:N-constraint} N-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)$ thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)$ phenylalanine,$

 $N\hbox{-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-} (N,N-dimethylcarbamyloxy) phenylalanine isopropyl ester \hbox{$_{\bf x}$}$

N-(3-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(2-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester.

N-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester₄

 $N-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine \textit{tert-butyl} ester $$_{\bf x}$$

 $\label{eq:local_local} $$N-(3,4-\text{dichlorobenzenesulfonyl})-L-(1,1-\text{dioxothiamorpholin-3-carbonyl})-L-4-(N,N-\text{dimethylcarbamyloxy})$$phenylalanine $tert$-butyl ester_{a}$$$

N-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester.

N-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester.

N-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

 $\label{eq:normalized} $$N-(3-\text{chlorobenzenesulfonyl})-L-(1,1-\text{dioxothiamorpholin-3-carbonyl})-L-4-(N,N-\text{dimethylcarbamyloxy})$$phenylalanine_a$$$

N-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thioprolyl-L-(thiomorpholin-4ylcarbonyloxy)phenylalanine isopropyl ester,

N-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(8-quinolinesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

 ${\it N-} (8-quino line sulfonyl)-L-prolyl-L-4-({\it N,N-} dimethyl carbamyloxy) phenylalanine, and the contract of the contract$

N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isoproplyl ester.

 $\label{eq:N-condition} N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)$ thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)$ phenylalanine,$

 $N\hbox{-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)} phenylalanine \textit{tert-}butyl ester,$

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester.

N-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester.

N-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(2,4-difluorobenzenefulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester₄

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine methyl ester $_{\star}$

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester,

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine n-butyl ester,

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine n-propyl ester₄

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester,

 $\label{eq:local_problem} N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine $tert$-butyl ester$$.$

N-(4-(N-phenylurea)benzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester.

N-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N-methyl-N-(2-dimethylaminoethyl)carbamyloxy)phenylalanine tert-butyl ester,

 $N\hbox{-(toluene-4-sulfonyl)-L-prolyl-L-4-}(N\hbox{-methyl-N-(2-dimethylaminoethyl)} carbamyloxy) phenylalanine \textit{tert-} butyl ester,$

 $\label{eq:normalized} $$N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)$ thiapropyl-L-4-($N-methyl-$N-(2-dimethylaminoethyl)$ carbamyloxy)$ phenylalanine_a$

 $\label{eq:normalized} $$N$-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-methyl-N-(2-dimethylaminoethyl)carbamyloxy) phenylalanine$$$\underline{\ }$$$

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(N,N-dimethycarbamyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(N,N-dimethylcarbamyloxy)]phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester.

N-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N*,*N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2=pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester.

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2=pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine tert-butyl ester,

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester.

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester.

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(1-n-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-trans-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

 ${\it N-} (4-amin obenzene sulfonyl)-L-prolyl-L-4-({\it N,N-} dimethyl carbamyloxy) phenylalanine_and the control of the control$

 $N-({\rm toluene-4-sulfonyl})-L-4-{\rm oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine \it tert-butyl ester, \label{eq:localized}$

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine,

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(1-methyl-1H-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4ylcarbonyloxy)phenylalanine isopropyl ester.

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine methyl ester,

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester,

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[N-methyl-N-(2-(N'-methyl-N'-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[N-(2-(N'-phenylaminocarbonyloxy)ethyl)carbamyloxy)]phenylalanine isopropyl ester,

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester.

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine,

 $\label{eq:N-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine $tert$-butyl ester$$ $$$

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazinl-ylcarbonyloxy)]phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-l-ylcarbonyloxy)]phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine tert-butyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester $_{\Delta}$

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-l-ylcarbonyloxy)]phenylalanine isopropyl ester.

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(N,Ndimethylcarbamyloxy)phenylalanine tert-butyl ester.

 $\label{eq:N-decomposition} \emph{N-}(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine_$

 $\label{eq:N-decomposition} N-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-l-ylcarbonyloxy)phenylalanine_{\tt x}$$

 $\label{eq:local-local} N-(4-fluorobenzenesulfonyl) thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)] phenylalanine_a$

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester,

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine tert-butyl ester,

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazinl-ylcarbonyloxy)]phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(N-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester,

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,

N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

 $\label{eq:N-def} $$N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,$

 $\label{eq:N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy) phenylalanine, $$ (4-amidinobenzenesulfonyl) - L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy) - L-prolyl-L-4-(thiomorpholin-4-ylc$

 $N\hbox{-}(4\hbox{-nitrobenzenesulfonyl})\hbox{-}L\hbox{-prolyl-L-4-}(4\hbox{-}(2\hbox{-pyridyl})\hbox{piperazin-1-ylcarbonyloxy})] phenylalanine,$

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester,

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine₂

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine,

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine tert-butyl ester.

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1ylcarbonyloxy)phenylalanine *tert*-butyl ester,

 $N\hbox{-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-l-ylcarbonyloxy)} phenylalanine isopropyl ester,$

 $\label{eq:normalizero} N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-l-ylcarbonyloxy)phenylalanine isopropyl ester. $$$

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-l-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

 $\label{eq:normalized} N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl) thiaprolyl-L-4-(N,N-dimethylcarbamyloxy) phenylalanine_a$

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-butyl ester,

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine tert-butyl ester.

N-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,

N-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine₄

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1ylcarbonyloxy)phenylalanine,

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,

 $\label{eq:normalized} $$N-(1-\text{methylpyrazole-3-sulfonyl})$-L-(5,5-\text{dimethyl})$ thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)$ phenylalanine $tert$-butyl ester$$_{\bf x}$$

 $\label{eq:N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester.$

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester,

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,

N-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,

and pharmaceutically acceptable salts thereof.

- 23. (Original) The method according to any one of claims 5, 13, and 15, wherein the mammal is a human.
- 24. (Currently Amended) The method according to any one of claims 5, 13, and 15 claim 5 or 13, wherein the human suffers from a condition which demyelinates cells, and wherein said condition is multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.
- (Original) The method according to claim 24, wherein the human suffers from multiple sclerosis.
- 26. (Currently Amended) The method according to any one of claims 5, 13, and 45 claim 5 or 13, wherein the compound is administered parenterally.
- (Currently Amended) The method according to any one of claims 5, 13, and 45 <u>claim 5 or 13</u>, wherein the compound is administered chronically to the mammal in need thereof.
- (Original) The method according to claim 27, wherein the chronic administration of the compound is weekly or monthly over a period of at least one year.
- 29. (Currently Amended) The method according to any one of claims 5, 13, and +5 <u>claim 5 or 13</u>, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

- (Original) The method according to claim 29, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.
- (Original) The method according to claim 30, wherein the anti-inflammatory agent is adrenocorticotropic hormone, a corticosteroid, an interferon, glatiramer acetate, or a non-steroidal anti-inflammatory drug.

32. (Cancelled)

- (Original) The method according to claim 31, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone cortisol, cortisone, fludrocortisone, prednisolone, 6a-methylprednisolone, triamcinolone, or betamethasone.
- (Original) The method according to claim 33, wherein the corticosteroid is prednisone.

35. (Cancelled)

- 36. (Currently Amended) The method according to any one of claims 5, 13, and +5 claim 5 or 13, wherein the compound is administered intravenously or subcutaneously.
- 37. (Original) The method according to claim 36, wherein the compound is administered intravenously to a mammal, and wherein the administration results in an effective blood level of the compound in the mammal of ≥ 10 ng/ml.
- 38. (Original) The method according to claim 36, wherein the compound is administered intravenously in an amount of 20 μg to about 500 μg per kilogram body weight of the mammal.

39-91. (Cancelled)